

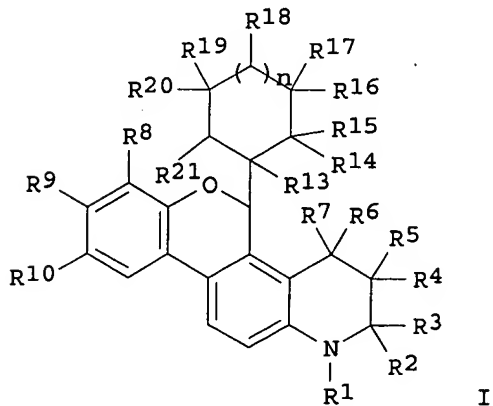
10/684,212

STN- structure Search  
10/684,212

=> d ibib abs hitstr 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2005:85345 CAPLUS  
 DOCUMENT NUMBER: 143:7696  
 TITLE: Preparation of 5-cycloalkenyl 5H-chromeno[3,4-f]quinoline derivatives as selective progesterone receptor modulator compounds  
 INVENTOR(S): Zhi, Lin; Van Oeveren, Cornelis Arjan; Pedram, Bijan; Karanewsky, Donald  
 PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA  
 SOURCE: Short-Term Pat. Specif. (Hong Kong), 90 pp.  
 CODEN: HKXXAR  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HK 1055058	A2	20031205	HK 2003-105597	20030804
CA 2500758	AA	20040422	CA 2003-2500758	20030804
WO 2004033460	A1	20040422	WO 2003-US24419	20030804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1551845 A1 20050713 EP 2003-808051 20030804 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2004152718 A1 20040805 US 2003-684229 20031010 PRIORITY APPLN. INFO.: US 2002-417975P P 20021011 WO 2003-US24419 W 20030804 OTHER SOURCE(S): MARPAT 143:7696 GI				



AB What is claimed is a compound of I wherein: R1 is H, C1-C4 alkyl, C1-C4 haloalkyl, C1-C4 heteroalkyl, COR11, CO2R11, SO2R11, or CONR11R12; R2 and

R3 = H, C1-C6 alkyl, or C1-C6 haloalkyl; or R2 and R3 together form a cycloalkyl ring; R4 through R7 = H, halo, CN, OR11, C1-C4 alkyl, C1-C4 haloalkyl, or C1-C4 heteroalkyl; or R5 and R7 taken together form a bond; or R6 and R7 together = methyldiene, mono-substituted methyldiene, di-substituted methyldiene and carbonyl; R8 through R10 = H, halo, NO2, CN, OR11, NR11, R12, SR11, COR11, CO2R11, CONR11R12, C1-C8 heteroalkyl, C1-C8 haloalkyl, alkyl, C2-C8 alkenyl or C2-C8 alkynyl; R11 and R12 = H, C1-C4 alkyl, C1-C4 heteroalkyl, or C1-C4 haloalkyl; R13 is H; or R13 and R14 together form a bond; R14 through R20 = H, halo, OR11, C1-C4 alkyl, C1-C4 haloalkyl, or C1-C4 heteroalkyl; or R14 and R15 together = methyldiene, carbonyl or thiocarbonyl; or R16 and R17 together = methyldiene, mono-substituted methyldiene, di-substituted methyldiene, carbonyl or thiocarbonyl; or R14 and R16 together form a bond or "-O-" bridge; or R16 and R18 together form a bond when n is 1; or R16 and R19 together form a bond when n is 0; R21 = H; or R21 and R20 together form a bond; n is 0, 1, 2, or 3; or a pharmaceutically acceptable salt or prodrug thereof. The present invention also claims pharmaceutical compns. containing I, and use of the compds. for treating conditions mediated by progesterone receptors. Also provided are methods of making I.

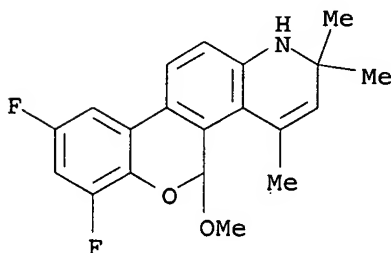
IT 852359-25-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 5-cycloalkenyl 5H-chromeno[3,4-f]quinoline derivs. as selective progesterone receptor modulator compds. for treating various disorders)

RN 852359-25-2 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-5-methoxy-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:85311 CAPLUS

DOCUMENT NUMBER: 143:193990

TITLE: Preparation of 5-substituted 7,9-difluoro-5h-chromeno[3,4-f]quinoline compounds as selective progesterone receptor modulators

INVENTOR(S): Zhi, Lin; Van Oeveren, Cornelis Arjan; Pedram, Bijan; Karanewsky, Donald

PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA

SOURCE: Short-Term Pat. Specif. (Hong Kong), 108 pp.

CODEN: HKXXAR

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HK 1055059	A2	20031205	HK 2003-105598	20030804
DE 20301728	U1	20040415	DE 2003-20301728	20030204
CA 2501834	AA	20040422	CA 2003-2501834	20030804
WO 2004033461	A1	20040422	WO 2003-US24420	20030804

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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 EP 1554283 A1 20050720 EP 2003-808052 20030804  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 US 2004152717 A1 20040805 US 2003-684212 20031010  
 PRIORITY APPLN. INFO.: US 2002-417968P P 20021011  
 WO 2003-US24420 W 20030804  
 OTHER SOURCE(S): MARPAT 143:193990  
 GI

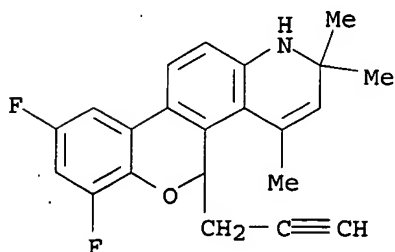
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I and II [wherein R1 = (un)substituted hetero/halo/alk(en/yn)yl, hetero/aryl; R2 = H, F, Cl, Br, I, (un)substituted hetero/halo/alk(en/yn)yl, hetero/aryl; and their pharmaceutically acceptable salts and prodrugs] were prepared as selective progesterone receptor modulators. Thus, reacting 7,9-difluoro-1,2-dihydro-2,2,4-trimethyl-5-coumarino[3,4-f]quinoline with 4-picolyllithium gave (Z)-II as a yellow solid. In a test for agonist activity at progesterone receptors expressed in CV-1 cells, (Z)-II had an efficacy (maximum response) of 103% vs. progesterone, and an agonist potency (EC50) of 7.4 nM. I may suppress estrogen-induced endometrial stimulation in uterus equally efficacious as marketed steroidal modulator compds. Three pharmaceutical compns. ar given.

IT 861926-68-3P, 7,9-Difluoro-5-(2-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline  
 RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (drug candidate; preparation of difluorochromenoquinolines as selective progesterone receptor modulators)

RN 861926-68-3 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(2-propynyl)- (9CI) (CA INDEX NAME)



IT 861926-69-4P, (-)-7,9-Difluoro-5-(2-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-85-4P,  
 (+)-7,9-Difluoro-5-(2-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-

10/684,212

chromeno[3,4-f]quinoline

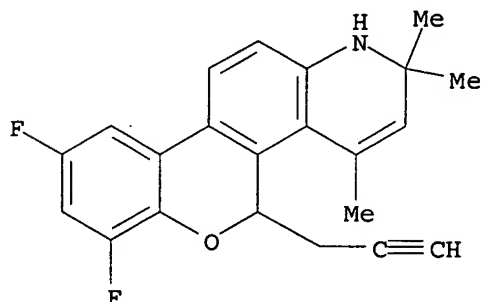
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of difluorochromenoquinolines as selective progesterone receptor modulators)

RN 861926-69-4 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(2-propynyl)-, (-) - (9CI) (CA INDEX NAME)

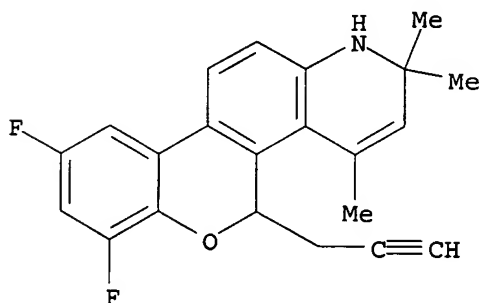
Rotation (-).



RN 861926-85-4 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(2-propynyl)-, (+) - (9CI) (CA INDEX NAME)

Rotation (+).



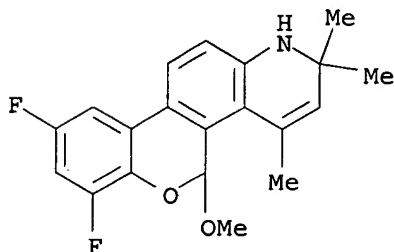
IT 852359-25-2P, 7,9-Difluoro-5-methoxy-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-42-3P, 7,9-Difluoro-1,2-dihydro-2,2,4,5-tetramethyl-5-chromeno[3,4-f]quinoline 861926-43-4P, 7,9-Difluoro-5-(2-oxo-2-phenylethyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-44-5P, 7,9-Difluoro-5-ethyl-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-45-6P, 7,9-Difluoro-5-ethenyl-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-46-7P, 7,9-Difluoro-5-(2-oxo-3-butenyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-47-8P, Methyl 7,9-difluoro-1,2-dihydro- $\alpha,\alpha$ ,2,2,4-pentamethyl-5H-chromeno[3,4-f]quinoline-5-ethanoate 861926-48-9P, 7,9-Difluoro-5-ethynyl-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-49-0P, 7,9-Difluoro-5-cyano-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-50-3P, 7,9-Difluoro-5-butyl-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-53-6P, 7,9-Difluoro-5-allyl-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-55-8P, Ethyl 7,9-difluoro-1,2-dihydro- $\alpha$ -methylene-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline-5-propanoate

861926-56-9P, 7,9-Difluoro-1,2-dihydro- $\beta$ -methylene-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline-5-propanol 861926-57-0P, 7,9-Difluoro-1,2-dihydro- $\beta$ -methylene-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline-5-propanol acetate 861926-58-1P, 7,9-Difluoro-5-(1-methylethenyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-60-5P, 7,9-Difluoro-5-(phenylethynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-70-7P, 7,9-Difluoro-5-(1-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-71-8P, (-)-7,9-Difluoro-5-(1-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-72-9P, (+)-7,9-Difluoro-5-(1-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline 861926-75-2P, 7,9-Difluoro-5-(2-methyl-1-propenyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of difluorochromenoquinolines as selective progesterone receptor modulators)

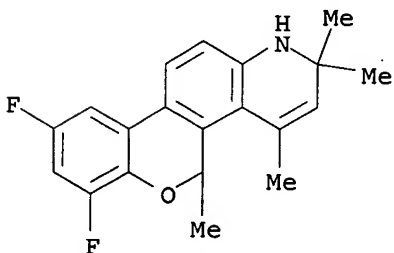
RN 852359-25-2 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-5-methoxy-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 861926-42-3 CAPLUS

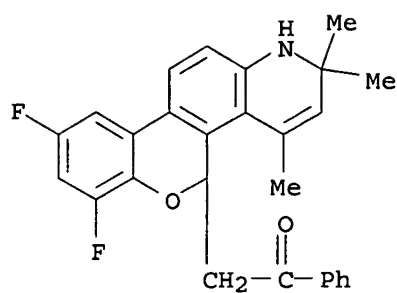
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4,5-tetramethyl- (9CI) (CA INDEX NAME)



RN 861926-43-4 CAPLUS

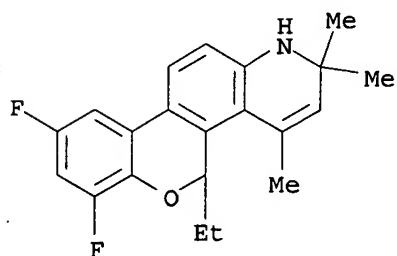
CN Ethanone, 2-(7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-1-phenyl- (9CI) (CA INDEX NAME)

10/684,212



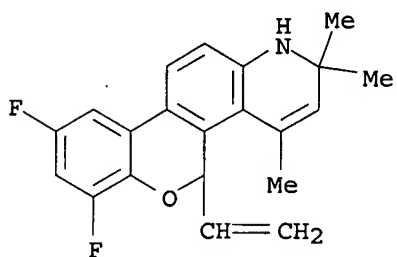
RN 861926-44-5 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-ethyl-7,9-difluoro-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



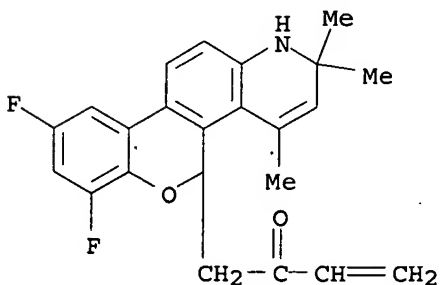
RN 861926-45-6 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-ethenyl-7,9-difluoro-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 861926-46-7 CAPLUS

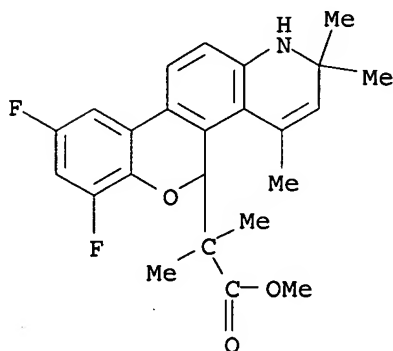
CN 3-Buten-2-one, 1-(7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)- (9CI) (CA INDEX NAME)



RN 861926-47-8 CAPLUS

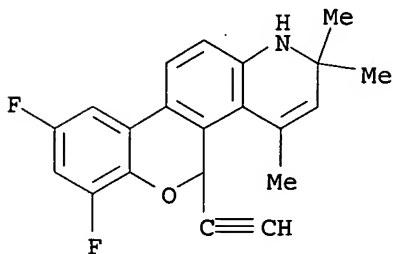
10/684,212

CN 1H-[1]Benzopyrano[3,4-f]quinoline-5-acetic acid, 7,9-difluoro-2,5-dihydro-  
 $\alpha,\alpha,2,2,4$ -pentamethyl-, methyl ester (9CI) (CA INDEX NAME)



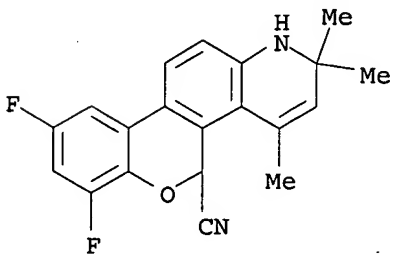
RN 861926-48-9 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-ethynyl-7,9-difluoro-2,5-dihydro-  
2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 861926-49-0 CAPLUS

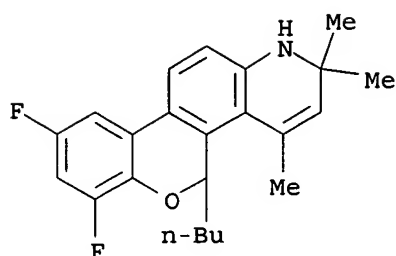
CN 1H-[1]Benzopyrano[3,4-f]quinoline-5-carbonitrile, 7,9-difluoro-2,5-dihydro-  
2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 861926-50-3 CAPLUS

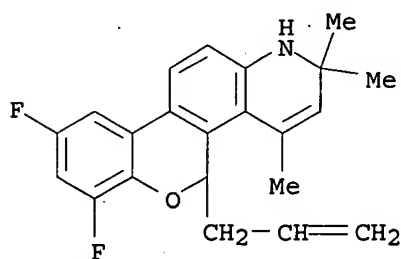
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-butyl-7,9-difluoro-2,5-dihydro-2,2,4-  
trimethyl- (9CI) (CA INDEX NAME)

10/684,212



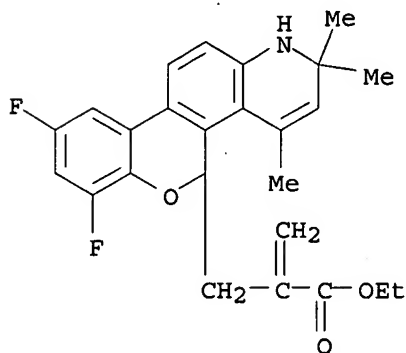
RN 861926-53-6 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(2-propenyl)- (9CI) (CA INDEX NAME)



RN 861926-55-8 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline-5-propanoic acid, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-α-methylene-, ethyl ester (9CI) (CA INDEX NAME)

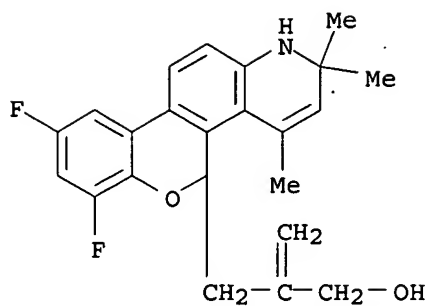


RN 861926-56-9 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline-5-propanol, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-β-methylene- (9CI) (CA INDEX NAME)

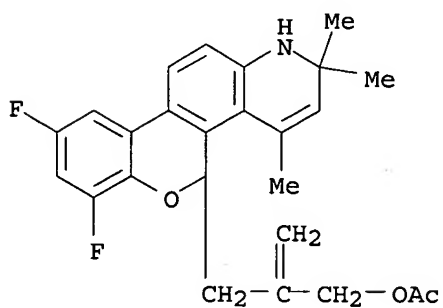


10/684,212



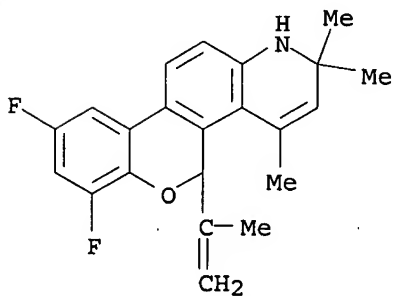
RN 861926-57-0 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline-5-propanol, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-β-methylene-, acetate (ester) (9CI) (CA INDEX NAME)



RN 861926-58-1 CAPLUS

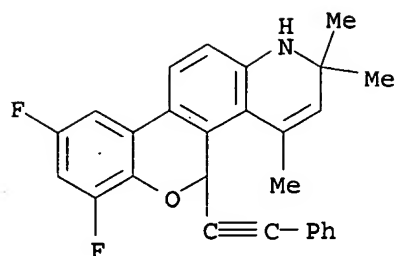
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(1-methylethenyl)- (9CI) (CA INDEX NAME)



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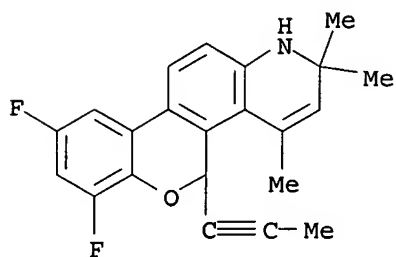
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)

10/684,212



RN 861926-70-7 CAPLUS

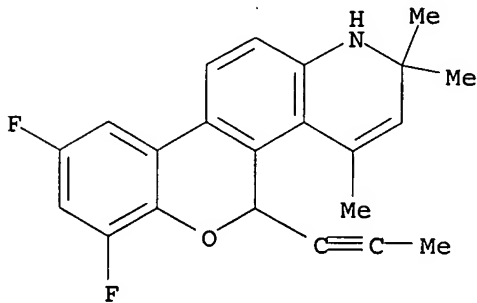
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(1-propynyl)- (9CI) (CA INDEX NAME)



RN 861926-71-8 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(1-propynyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

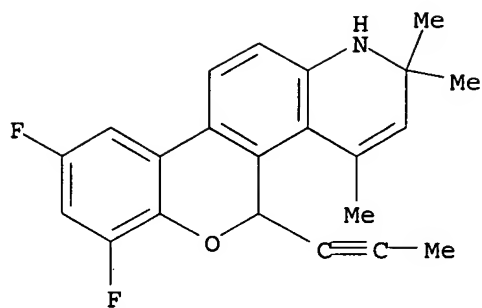


RN 861926-72-9 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(1-propynyl)-, (+)- (9CI) (CA INDEX NAME)

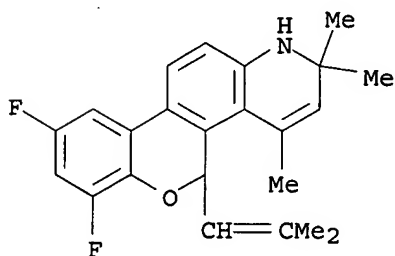
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10/684,212



RN 861926-75-2 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(2-methyl-1-propenyl)- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 11:27:35 ON 04 OCT 2005)

FILE 'REGISTRY' ENTERED AT 11:27:49 ON 04 OCT 2005

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 23 S L1 FULL

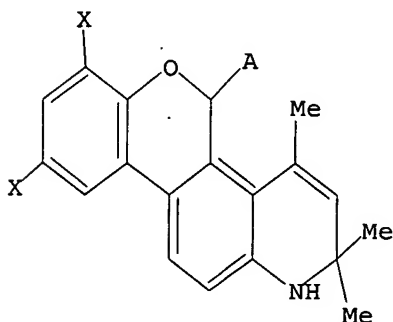
FILE 'CAPLUS' ENTERED AT 11:28:22 ON 04 OCT 2005

L4 2 S L3

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.